Search Strategy

FILE 'USPATFULL' ENTERED AT 10:04:55 ON 29 SEP 2003

		E	RANA TARIQ M/IN
L1	8	S	E3
		E	HUQ IKRAMUL/IN
L2	2	S	E3
L3	0	S	L2 NOT L1
		E	TAMILARASU N/IN
L4	0	S	E3
L5	0	S	L4 NOT L1
L6	3	S	E4
L7	0	S	L6 NOT L1
L8	28	S	OLIGOUREA
L9	10	S	L8 AND (OLIGOUREA/CLM)

FILE 'WPIDS' ENTERED AT 10:13:18 ON 29 SEP 2003

		E	RANA T M/AU
		E	RANA T M/IN
L10	7	S	E3
		E	HUQ I/IN
L11	2	S	E3
		E	TAMILARASU N/IN
L12	3	S	E3
L13	8	S	OLIGOUREA
L14	7	S	L13 NOT L10

FILE 'BIOSIS' ENTERED AT 10:21:43 ON 29 SEP 2003

		E	RANA T M/AU
L15	10	S	E3
		E	HUQ I/AU
L16	29	S	E3 OR E4
L17	0	S	L16 AND (TAT OR OLIGOUREA)
		E	TAMILARASU N/AU
L18	14	S	E3 OR E4
L19	13	S	OLIGOUREA?
L20	12	S	L19 NOT L18

L1 ANSWER 4 OF 8 USPATFULL on STN
2002:276057 Inhibition of HIV-1 replication using d-amino acid peptides.
Rana, Tariq M., 22 Johanna Ct., Piscataway, NJ, United States 08854
Huq, Ikramul, 10 Redcliffe Ave. #2A, Highland Park, NJ, United States 08904
US 6468969 B1 20021022
APPLICATION: US 1999-409624 19991001 (9)
DOCUMENT TYPE: Utility; GRANTED.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The Tat-inhibitory polypeptide derivatives of the formula I

D-Cys-D-Phe-D-Thr-D-Thr-D-Lys-D-Ala-D-Leu-D-Gly-D-Ile-D-Ser-D-Tyr-D-Gly-D-Arg-D-Lys-D-Lys-D-Arg-D-Arg-D-Gln-D-Arg-D-Arg-D-Arg-D-Pro-D-Pro-D-Gln-D-Gly-D-Ser-D-Gln-D-Thr-D-His-D-Gln-D-Val-D-Ser-D-Leu-D-Ser-D-Lys-D-Gln (SEQ ID 1)

and fragments or analogs thereof, and the biologically and pharmaceutically acceptable salts thereof exhibit advantageous properties, including binding to .DELTA.TAR, inhibition of LTR-dependent reporter gene expression in a model cell assay and, finally, inhibition of HIV-1 replication, as determined in assays of HIV-induced syncytium formation, cytotoxicity and reverse transcriptase production. These peptides are thus capable of competing with the TAR RNA-binding domain of Tat protein and thus are useful as a therapeutic agents in the treatment of AIDS.

CLM What is claimed is:
1. A peptide of the formula ID-Cys-D-Phe-D-Thr-D-Thr-D-Lys-D-Ala-D-Leu-D-Gly-D-Ile-D-Ser-D-Tyr-D-Gly-D-Arg-D-Lys-D-Lys-D-Arg-D-Arg-D-Gln-D-Arg-D-Arg-D-Arg-D-Pro-D-Pro-D-Gln-D-Gly-D-Ser-D-Gln-D-Thr-D-His-D-Gln-D-Val-D-Ser-D-Leu-D-Ser-D-Lys-D-Gln (SEQ ID NO: 1) and the biologically and pharmaceutically acceptable salts thereof.

2. The peptide of claim 1 wherein the C-terminal residue contains an amide group.

3. A pharmaceutical composition comprising a peptide of the formula D-Cys-D-Phe-D-Thr-D-Thr-D-Lys-D-Ala-D-Leu-D-Gly-D-Ile-D-Ser-D-Tyr-D-Gly-D-Arg-D-Lys-D-Lys-D-Arg-D-Arg-D-Gln-D-Arg-D-Arg-D-Arg-D-Pro-D-Pro-D-Gln-D-Gly-D-Ser-D-Gln-D-Thr-D-His-D-Gln-D-Val-D-Ser-D-Leu-D-Ser-D-Lys-D-Gln (SEQ ID NO: 1) or a biologically and pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier therefor.

4. The composition of claim 3 wherein the C-terminal residue of the peptide contains an amide group.

5. The composition of claim 3 adapted for parenteral administration.

L1 ANSWER 2 OF 8 USPATFULL on STN
2003:169118 Ureas and compositions thereof for treating cancer, inflammation, or a viral infection.
Rana, Tariq M, Piscataway, NJ, United States
Hwang, Seongwoo, Somerset, NJ, United States
Tamilarasu, Natarajan, Highland Park, NJ, United States
University of Medicine and Dentistry of New Jersey, New Brunswick, NJ, United States (U.S. corporation)
US **6583309** B1 20030624
APPLICATION: US 2002-151800 20020521 (10)

PRIORITY: US 1999-157646P 19991004 (60)
DOCUMENT TYPE: Utility; GRANTED.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel carbamates, ureas, and pharmaceutically acceptable salts thereof, compositions comprising the carbamate, urea, or a pharmaceutically acceptable salt thereof, and methods for treating or preventing cancer, inflammation, or a viral infection comprising administering to a patient in need of such treatment or prevention a therapeutically effective amount of the carbamate, urea, or pharmaceutically acceptable salt thereof.

CLM What is claimed is:
1. A compound of formula V: ##STR106## and pharmaceutically acceptable salts thereof, wherein each R.sup.2 is independently selected from the group consisting of --NH.sub.2, --NHC(.dbd.NH)NH.sub.2, --CH.sub.2C(.dbd.NH)NH.sub.2, and --C(O)NH.sub.2; each n is independently an integer ranging from 3 to 7; and each * is an (R) or (S) chiral center.

2. The compound of claim 1, selected from the group consisting of: ##STR107## 1-{5-Amino-1-[3-(1-aminomethyl-4-guanidino-butyl)-ureidomethyl]-pentyl}-3-(5-guanidino-2-ureido-pentyl)-urea (Compound DV); ##STR108## 1-{5-Amino-1-[3 -(5-amino-1-aminomethyl-pentyl)-ureidomethyl]-pentyl}-3-(5-guanidino-2-ureido-pentyl)-urea (Compound DW); ##STR109## 1-{1-[3-(5-Amino-1-aminomethyl-pentyl)-ureidomethyl]-4-guanidino-butyl}-3-(5-guanidino-2-ureido-pentyl)-urea (Compound DX); ##STR110## 1-{5-Amino-1-[3-(2-amino-ethyl)-ureidomethyl]-pentyl}-3-(5-guanidino-2-ureido-pentyl)-urea (Compound DY); and pharmaceutically acceptable salts thereof.

3. A composition comprising a therapeutically effective amount of a compound of claim 1.

4. A composition comprising a therapeutically effective amount of a compound of claim 2.

5. The composition of claim 3, further comprising a pharmaceutically acceptable vehicle.

6. The composition of claim 3, further comprising an anticancer agent.

7. The composition of claim 3, further comprising an anti-inflammatory agent.

8. The composition of claim 3, further comprising an antiviral agent.

L10 ANSWER 1 OF 7 WPIDS COPYRIGHT 2003 THOMSON DERWENT on STN
AN 2002-608173 [65] WPIDS
DNC C2002-171777
TI A modified protein comprising an amino acid sequence with an amino acid analog substituted at a specific amino acid residue other than lysine or cysteine, useful for structural and functional analysis of proteins.
DC B04 D16
IN RANA, T M; TAMILARASU, N; RANA, T
PA (UYNE-N) UNIV NEW JERSEY MEDICINE & DENTISTRY
CYC 97
PI WO 2002028884 A1 20020411 (200265)* EN 31p
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK

DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PH PL PT RO
RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW
AU 2002011475 A 20020415 (200265)
US 2002106767 A1 20020808 (200265)
ADT WO 2002028884 A1 WO 2001-US31289 20011004; AU 2002011475 A AU 2002-11475 20011004; US 2002106767 A1 Provisional US 2000-237881P 20001004, US 2001-972016 20011004
FDT AU 2002011475 A Based on WO 2002028884
PRAI US 2000-237881P 20001004; US 2001-972016 20011004

AB WO 200228884 A UPAB: 20021010
NOVELTY - A modified protein (I) comprising an amino acid sequence with an amino acid analog substituted at a specific amino acid residue, where lysine and/or cysteine side chains are not modified, is new.
DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:
(1) preparation of (I);
(2) labeling (M1) proteins, without modifying lysine and cysteine side chains, involves:
(a) replacing an amino acid of the protein, other than lysine and cysteine, with an analog of the amino acid, where the analog of the amino acid does not affect a biological activity of the protein; and
(b) labeling the amino acid analog of the protein with a dye, where the incorporation of the dye does not affect the biological activity of the protein;
(3) a labeled protein (II) comprising an amino acid sequence containing several lysine and/or cysteine residues, an amino acid analog, and a label located at the amino acid analog, where the amino acid analog and the label do not affect a biological activity of the protein; and
(4) a labeled Tat peptide comprising a fluorescein-acetyl-tyrosine substituted for tyrosine-47 in a Tat peptide.
USE - (I) is useful for determining protein-RNA interactions under physiological conditions which involves:
(a) labeling (I) (site-specific modified protein such as acetyl-Tyr-Tat peptide) with a donor dye molecule, where (I) comprises a protein modified by replacement of an amino acid with an analog of the amino acid, which does not modify lysine or cysteine residues, and does not affect a biological activity of the protein;
(b) labeling an RNA molecule (e.g. transactivation responsive region (TAR) RNA) with an acceptor dye molecule;
(c) measuring the emission of the mixtures in step (a) and (b), respectively;
(d) adding the mixture of step (b) to the mixture of step (a);
(e) measuring the emission of the mixture in step (d); and
(f) determining the interaction between the protein and an RNA molecule. Preferably the donor-acceptor dye pair is fluorescein-rhodamine (claimed).
(I) is useful in structural and functional analysis of proteins.
ADVANTAGE - (I) and its preparation method provides versatile procedures for labeling peptides of biological interest at a desired site, even in the presence of several nucleophilic side chains of lysine and cysteine, and provides tools for post-synthetic peptide modification and the structural and functional analysis of programs through the introduction of biophysical probes.
Dwg.0/8

L10 ANSWER 4 OF 7 WPIDS COPYRIGHT 2003 THOMSON DERWENT on STN
AN 2000-524230 [47] WPIDS
DNC C2000-155668
TI New Tat-derived oligourea, useful to inhibit interaction between Tat

protein and the TAR RNA of HIV-1, has a urea backbone and the RNA-binding domain of Tat protein.

DC B04 D16
IN RANA, T M
PA (UYNE-N) UNIV NEW JERSEY MEDICINE & DENTISTRY; (UYNE-N) UNIV NEW JERSEY
CYC 22
PI WO 2000043332 A2 20000727 (200047)* EN 13p
RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE
W: AU CA JP US
AU 2000026318 A 20000807 (200055)
ADT WO 2000043332 A2 WO 2000-US1957 20000125; AU 2000026318 A AU 2000-26318 20000125
FDT AU 2000026318 A Based on WO 2000043332
PRAI US 1999-117099P 19990125

AB WO 200043332 A UPAB: 20000925
NOVELTY - A synthesized oligourea (O) comprising at least part of the basic-arginine rich region of Tat, is new.
DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:
(1) a synthesized oligourea comprising at least part of the sequence Gly-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg (I);
(2) inhibiting binding of Tat protein to TAR RNA, comprising introducing (O) into a cellular environment where the inhibition is required;
(3) a composition having a high and specific binding affinity for a nucleic acid, comprising oligourea;
(4) a kit comprising the composition in (3), a container and instructions for carrying out the method in (2);
(5) inhibiting a protein-nucleic acid interaction, comprising introducing the above composition; and;
(6) a synthesized oligourea comprising at least part of (II);
ACTIVITY - Gene expression control.
MECHANISM OF ACTION - Nucleic acid binding.
USE - The invention is used in research or as a therapeutic agent to inhibit a protein-nucleic acid interaction that leads to a diseased state, particularly interaction between Tat protein and the TAR RNA from HIV-1 (claimed). The invention may also be used to detect a target nucleic acid.
ADVANTAGE - The oligourea of the invention has higher binding affinity for RNA than naturally occurring peptides.
DESCRIPTION OF DRAWING(S) - The figure shows a graph of the inhibition of Tat transactivation by the oligourea derivative in vivo.
Dwg.1/4

L10 ANSWER 5 OF 7 WPIDS COPYRIGHT 2003 THOMSON DERWENT on STN
AN 1999-180970 [15] WPIDS
DNC C1999-052838
TI New Tat-inhibitory peptide, and derivatives - useful for inhibiting human immunodeficiency virus type 1 (HIV-1) replication.
DC B04
IN HUQ, I; RANA, T M
PA (UYNE-N) UNIV NEW JERSEY; (HUQI-I) HUQ I; (RANA-I) RANA T M
CYC 22
PI WO 9909056 A1 19990225 (199915)* EN 38p
RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE
W: AU CA JP
AU 9889126 A 19990308 (199929)
US 6468969 B1 20021022 (200273)
ADT WO 9909056 A1 WO 1998-US17109 19980819; AU 9889126 A AU 1998-89126 19980819; US 6468969 B1 Cont of US 1997-914104 19970819, US 1999-409624 19991001

FDT AU 9889126 A Based on WO 9909056
PRAI US 1997-914104 19970819; US 1999-409624 19991001

AB WO 9909056 A UPAB: 19990416
NOVELTY - A Tat-inhibitory peptide (I), and derivatives, is new.
USE - The Tat-inhibitory peptide (I) forms a pharmaceutical composition, which is useful for inhibiting HIV-1 replication (claimed). The treatment may be applied to mammals, included humans, monkeys and cats, in order to prevent acquired immunodeficiency syndrome (AIDS). Antiviral. No details of test for antiviral activity are given. Blocker. Test details are described for blocking mechanism of the peptides, but no results are given. The Tat-inhibitory peptide blocks the interaction of Tat protein with trans-activation responsive region (TAR) RNA, thus preventing interfering with the transactivation step in the replication cycle of HIV-1.
ADVANTAGE - The D peptides are resistant to proteolytic degradation and cannot be efficiently processed for major histocompatibility complex class II-restricted presentation to T helper cells. Therefore, the Tat peptide is useful as a drug, which binds to the trans-activation responsive region (TAR) of HIV-1, inhibits viral long terminal repeat (LTR)-dependent reporter gene expression in a model cell assay, and inhibits HIV-1 replication.
Dwg.0/7

L14 ANSWER 3 OF 7 WPIDS COPYRIGHT 2003 THOMSON DERWENT on STN
AN 2000-687298 [67] WPIDS
DNC C2000-209187
TI New protected 4-nitrophenyl carbamate derivative, useful as monomers for preparing oligourea peptidomimetics.
DC B05
IN BOEIJEN, A; DEN HARTOG, J A J; LISKAMP, R M J
PA (SOLV) SOLVAY PHARM BV
CYC 90
PI WO 2000064865 A1 20001102 (200067)* EN 22p
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ TZ UG ZW
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW
AU 2000044030 A 20001110 (200109)
ADT WO 2000064865 A1 WO 2000-EP3735 20000419; AU 2000044030 A AU 2000-44030 20000419
FDT AU 2000044030 A Based on WO 2000064865
PRAI NL 1999-1011878 19990423; EP 1999-201268 19990423

AB **WO 200064865 A** UPAB: 20001223
NOVELTY - 4-Nitrophenyl carbamate derivatives (I), useful as monomers in the preparation of oligourea peptidomimetics, are new.
DETAILED DESCRIPTION - 4-Nitrophenyl carbamate derivatives of formula (I) are new.
R = a side chain of a natural or unnatural, common or uncommon amino acid, having protected functional groups.
An INDEPENDENT CLAIM is included for:
(1) preparation of (I); and
(2) use of (I) for the preparation of an oligourea peptidomimetic having a free carboxyl terminus comprising:
(a) coupling an N-protected amino acid to a photocleavable linker containing resin;
(b) removing the protecting group;
(c) adding an activated monomer (I);

(d) removing the protecting group from the N-terminus;
(e) repeating steps (c) and (d) as required; and
(f) cleaving the oligourea peptidomimetic from the resin and removing protecting groups.

USE - (I) are useful as monomers for the solid phase synthesis of oligourea peptidomimetics.

Dwg.0/0

L18 ANSWER 11 OF 14 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
1999:144918 Document No.: PREV199900144918. Visualizing tertiary folding of RNA and RNA-protein interactions by a tethered iron chelate: Analysis of HIV-1 Tat-TAR complex. Huq, Ikramul; Tamilarasu, Natarajan; Rana, Tariq M. (1). (1) Dep. Pharmacol., Robert Wood Johnson Med. Sch., 675 Hoes Lane, Piscataway, NJ 08854 USA. Nucleic Acids Research, (Feb. 15, 1999) Vol. 27, No. 4, pp. 1084-1093. ISSN: 0305-1048. Language: English.

AB Replication of human immunodeficiency virus type 1 (HIV-1) requires specific interactions of Tat protein with the trans-activation responsive region (TAR) RNA, a 59 base stem-loop structure located at the 5'-end of all HIV transcripts. We have used an intramolecular RNA self-cleaving strategy to determine the folding of TAR RNA and its interactions with a Tat peptide. We incorporated an EDTA analog at position 24 in the HIV-1 Tat binding site of the TAR RNA. After isolation and purification of the EDTA-TAR conjugate, RNA self-cleavage was initiated by the addition of an iron salt, ascorbate and hydrogen peroxide. Hydroxyl radicals generated from the tethered Fe(II) cleaved TAR RNA backbone in two localized regions. Sites of RNA cleavage were mapped by sequencing reactions. A Tat fragment, Tat(38-72), specifically inhibited RNA self-cleavage. To determine the structural changes caused by the Tat peptide, we performed Fe(II)-EDTA footprinting experiments on Tat-TAR complex. Our high-resolution footprinting results suggest that the inhibition of self-cleavage of EDTA-TAR is due to two effects of Tat binding: (i) Tat binds in the bulge and protects residues in the vicinity of the bulge from self-cleavage and (ii) RNA goes through a structural change where EDTA-U24 is rigidly positioned out of the helix and cannot get access to other nucleotides in the loop of TAR RNA, which are not protected by the Tat peptide. Our results demonstrate that Fe(II)-EDTA-mediated RNA self-cleavage can be applied to study RNA tertiary structures and RNA-protein interactions.

L18 ANSWER 10 OF 14 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
1999:256100 Document No.: PREV199900256100. Controlling human immunodeficiency virus Type 1 gene expression by unnatural peptides. Huq, Ikramul; Ping, Yueh-Hsin; Tamilarasu, Natarajan; Rana, Tariq M. (1). (1) Department of Pharmacology, Robert Wood Johnson Medical School, and Molecular Biosciences Graduate Program at Rutgers University, 675 Hoes Lane, Piscataway, NJ, 08854 USA. Biochemistry, (April 20, 1999) Vol. 38, No. 16, pp. 5172-5177. ISSN: 0006-2960. Language: English. Summary Language: English.

AB Small unnatural peptides that target specific RNA structures have the potential to control biological processes. RNA-protein interactions are important in many cellular functions, including transcription, RNA splicing, and translation. One example of such interactions is the mechanism of trans-activation of human immunodeficiency virus type 1 (HIV-1) gene expression that requires the interaction of Tat protein with the trans-activation responsive region (TAR) RNA, a 59-base stem-loop structure located at the 5'-end of all nascent HIV-1 transcripts. We report here a synthetic peptide derived from Tat sequence (37-72), containing all D-amino acids, that binds in the major groove of TAR RNA and interferes with transcriptional activation by Tat protein in vitro and in HeLa cells. Our results indicate that unnatural peptides can inhibit the transcription of specific genes regulated by RNA-protein interactions.

L18 ANSWER 8 OF 14 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
2000:61669 Document No.: PREV200000061669. Inhibition of gene expression in human cells through small molecule-RNA interactions. Hwang, Seongwoo; Tamilarasu, Natarajan; Ryan, Kevin; Huq, Ikramul; Richter, Sara;

Still, W. Clark; Rana, Tariq M. (1). (1) Department of Pharmacology, Robert Wood Johnson Medical School, University of Medicine and Dentistry of New Jersey, 675 Hoes Lane, Piscataway, NJ USA. Proceedings of the National Academy of Sciences of the United States of America, (Nov. 9, 1999) Vol. 96, No. 23, pp. 12997-13002. ISSN: 0027-8424. Language: English. Summary Language: English.

AB Small molecules that bind their biological receptors with high affinity and selectivity can be isolated from randomized pools of combinatorial libraries. RNA-protein interactions are important in many cellular functions, including transcription, RNA splicing, and translation. One example of such interactions is the mechanism of trans-activation of HIV-1 gene expression that requires the interaction of Tat protein with the trans-activation responsive region (TAR) RNA, a 59-base stem-loop structure located at the 5' end of all nascent HIV-1 transcripts. Here we demonstrate the isolation of small TAR RNA-binding molecules from an encoded combinatorial library. We have made an encoded combinatorial tripeptide library of 24,389 possible members from D-and L-alpha amino acids on TentaGel resin. Using on-bead screening we have identified a small family of mostly heterochiral tripeptides capable of structure-specific binding to the bulge loop of TAR RNA. In vitro binding studies reveal stereospecific discrimination when the best tripeptide ligand is compared with diastereomeric peptide sequences. In addition, the most strongly binding tripeptide was shown to suppress transcriptional activation by Tat protein in human cells with an IC50 of apprxeq50 nM. Our results indicate that tripeptide RNA ligands are cell permeable, nontoxic to cells, and capable of inhibiting expression of specific genes by interfering with RNA-protein interactions.

L18 ANSWER 7 OF 14 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
2000:288387 Document No.: PREV200000288387. Design, synthesis, and biological activity of a cyclic peptide: An inhibitor of HIV-1 Tat-TAR interactions in human cells. Tamilarasu, Natarajan; Huq, Ikramul; Rana, Tariq M. (1). (1) Department of Pharmacology, and Molecular Biosciences Graduate Program, Robert Wood Johnson Medical School, Rutgers State University, 675 Hoes Lane, Piscataway, NJ, 08854 USA. Bioorganic & Medicinal Chemistry Letters, (May 1, 2000) Vol. 10, No. 9, pp. 971-974. print. ISSN: 0960-894X. Language: English. Summary Language: English.

AB Replication of human immunodeficiency virus type 1 (HIV-1) requires specific interactions of Tat protein with the trans-activation responsive region (TAR) RNA, a 59-base stem-loop structure located at the 5'-end of all HIV mRNAs. A number of cyclic peptides are known to possess antibiotic activity and increased biological stability. Here we report the design, synthesis, and biological activity of a cyclic peptide (2), which inhibits transcriptional activation by Tat protein in human cells with an IC50 of apprxeq40 nM. Cyclic peptides that can target specific RNA structures provide a new class of small molecules that can be used to control cellular processes involving RNA-protein interactions in vivo.

L18 ANSWER 5 OF 14 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
2001:170453 Document No.: PREV200100170453. **Targeting RNA with peptidomimetic oligomers in human cells. Tamilarasu, Natarajan; Huq, Ikramul; Rana, Tariq M. (1). (1) Department of Pharmacology, Robert Wood Johnson Medical School, and Molecular Biosciences Graduate Program, Rutgers State University, 675 Hoes Lane, Piscataway, NJ, 08854: rana@umdnj.edu USA. Bioorganic & Medicinal Chemistry Letters, (26 February, 2001) Vol. 11, No. 4, pp. 505-507.** print. ISSN: 0960-894X. Language: English. Summary Language: English.

AB Replication of human immunodeficiency virus type 1 (HIV-1) requires specific interactions of Tat protein with the trans-activation responsive region (TAR) RNA, a 59-base stem-loop structure located at the 5'-end of all HIV mRNAs. Here we report that two TAR RNA-binding peptidomimetics, oligourea and oligocarbamate, inhibit transcriptional activation by Tat protein in human cells with an IC50 of apprx0.5 and 1 muM, respectively. Peptidomimetics that can target specific RNA structures provide novel molecules that can be used to control cellular processes involving protein-RNA interactions in vivo.

L18 ANSWER 2 OF 14 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
2003:46167 Document No.: PREV200300046167. A new class of RNA-binding oligomers: Peptoid amide and ester analogues. Kesavan, Venkitasamy; Tamilarasu, Natarajan; Cao, Hong; Rana, Tariq M. (1). (1) Chemical Biology Program, Department of Biochemistry and Molecular Pharmacology, Medical School, University of Massachusetts, 364 Plantation Street, Worcester, MA, 01605-2324, USA: tariq.rana@umassmed.edu USA. Bioconjugate Chemistry, (November December 2002) Vol. 13, No. 6, pp. 1171-1175. print. ISSN: 1043-1802. Language: English.

AB Replication of human immunodeficiency virus type 1 (HIV-1) requires specific interactions of Tat protein with the trans-activation responsive region (TAR) RNA, a 59-base stem-loop structure located at the 5'-end of all HIV mRNAs. Here we report the design, synthesis and in vitro activities of oligopeptoid amide and ester analogues which bind TAR RNA with high affinities. These results show that we have identified a new class of unnatural oligomers for RNA targeting.

L20 ANSWER 3 OF 12 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
2001:233724 Document No.: PREV200100233724. An efficient preparation of O-succinimidyl carbamate derivatives from N-protected beta-amino acids: Application to the synthesis of urea containing pseudopeptides and oligoureas. Guichard, Gilles (1); Semetey, Vincent (1); Didierjean, Claude; Aubry, Andre; Rodriguez, Marc; Briand, Jean-Paul (1). (1) Laboratoire de Chimie Immunologique, UPR 9021 CNRS, Institut de Biologie Moleculaire et Cellulaire, 15, rue Descartes, 67000, Strasbourg France. Fields, Gregg B.; Tam, James P.; Barany, George. (2000) pp. 148-149. Peptides for the new millennium. print. Publisher: Kluwer Academic Publishers 3300 AA, Dordrecht, Netherlands. Meeting Info.: 16th American Peptide Symposium Minneapolis, MI, USA June 26-July 01, 1999 ISBN: 0-7923-6445-7 (cloth). Language: English. Summary Language: English.

L20 ANSWER 4 OF 12 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
2000:153329 Document No.: PREV200000153329. Solid phase synthesis of oligoureas using O-succinimidyl-(9H-fluoren-9-ylmethoxycarbonylamino)ethylcarbamate derivatives as activated monomers. Guichard, Gilles (1); Semetey, Vincent; Rodriguez, Marc; Briand, Jean-Paul. (1) Laboratoire de Chimie Immunologique, UPR 9021 CNRS, Institut de Biologie Moleculaire et Cellulaire, 15 rue Rene Descartes, 67084, Strasbourg France. Tetrahedron Letters., (March 4, 2000) Vol. 41, No. 10, pp. 1553-1557. ISSN: 0040-4039. Language: English. Summary Language: English.

AB An efficient stepwise synthesis of oligoureas (up to the nonamer) on solid support using O-succinimidyl-(9H-fluoren-9-ylmethoxycarbonylamino)ethylcarbamate derivatives as activated monomers is described. These building blocks were readily prepared starting from N-Fmoc protected beta3-amino acids via Curtius rearrangement of the corresponding acyl azides and treatment of the resulting isocyanate with N-hydroxysuccinimide.

L20 ANSWER 7 OF 12 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
1998:472678 Document No.: PREV199800472678. An efficient synthesis of N,N'-linked oligoureas. Wilson, Mark E.; Nowick, James S. (1). (1) Dep. Chem., Univ. Calif., Irvine, Irvine, CA 92697-2025 USA. Tetrahedron Letters, (Sept. 10, 1998) Vol. 39, No. 37, pp. 6613-6616. ISSN: 0040-4039. Language: English.

AB This paper reports an efficient synthesis of N-alkyl-N,N'-linked oligoureas (-NR-CO-NH-CH2CH2-)n, which involves the repetition of three steps: (1) main-chain extension by ring-opening of N-(2-nitrobenzenesulfonyl)-2-imidazolidone (1) by a secondary amine RR'NH to afford sulfonamide RR'N-CO-NH-CH2CH2-NH-SO2Ar (2) side-chain attachment by N-alkylation of the sulfonamide with alkyl halide R"X, and (3) removal of the sulfonyl group to give a new secondary amine RR'N-CO-NH-CH2CH2NHR"). A tetraurea was prepared in 10 steps and 58% overall yield by this method.

L20 ANSWER 11 OF 12 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
1996:423193 Document No.: PREV199699154249. The solid phase synthesis of oligoureas. Kim, Jong-Man; Bi, Yingzhi; Paikoff, Sari J.; Schultz, Peter G. (1). (1) Howard Hughes Med. Inst., Dep. Chem., Univ. California, Berkeley, CA 94720 USA. Tetrahedron Letters, (1996) Vol. 37, No. 30, pp. 5305-5308. ISSN: 0040-4039. Language: English.

L22 ANSWER 5 OF 23 MEDLINE on STN
2001245712 Document Number: 21121428. PubMed ID: 11229758. Targeting RNA with peptidomimetic oligomers in human cells. Tamilarasu N; Huq I; Rana T M. (Department of Pharmacology, Robert Wood Johnson Medical School, and Molecular Biosciences Graduate Program at Rutgers State University, Piscataway, NJ 08854, USA.) BIOORGANIC AND MEDICINAL CHEMISTRY LETTERS, (2001 Feb 26) 11 (4) 505-7. Journal code: 9107377. ISSN: 0960-894X. Pub. country: England: United Kingdom. Language: English.

AB Replication of human immunodeficiency virus type 1 (HIV-1) requires specific interactions of Tat protein with the transactivation responsive region (TAR) RNA, a 59-base stem-loop structure located at the 5'-end of all HIV mRNAs. Here we report that two TAR RNA-binding peptidomimetics, oligourea and oligocarbamate, inhibit transcriptional activation by Tat protein in human cells with an IC50 of approximately 0.5 and 1 microM, respectively. Peptidomimetics that can target specific RNA structures provide novel molecules that can be used to control cellular processes involving protein-RNA interactions in vivo.

L22 ANSWER 8 OF 23 MEDLINE on STN
2000463455 Document Number: 20468060. PubMed ID: 11013764. Selection of HIV replication inhibitors: chemistry and biology. Hwang S; Tamilarasu N; Rana T M. (Department of Pharmacology, Robert Wood Johnson Medical School, Piscataway, New Jersey 08854, USA.) ADVANCES IN PHARMACOLOGY, (2000) 49 167-97. Ref: 124. Journal code: 9015397. ISSN: 1054-3589. Pub. country: United States. Language: English.

L22 ANSWER 12 OF 23 MEDLINE on STN
1999230211 Document Number: 99230211. PubMed ID: 10213623. Controlling human immunodeficiency virus type 1 gene expression by unnatural peptides. Huq I; Ping Y H; Tamilarasu N; Rana T M. (Department of Pharmacology, Robert Wood Johnson Medical School, Molecular Biosciences Graduate Program, Rutgers University, Piscataway, New Jersey 08854, USA.) BIOCHEMISTRY, (1999 Apr 20) 38 (16) 5172-7. Journal code: 0370623. ISSN: 0006-2960. Pub. country: United States. Language: English.

AB Small unnatural peptides that target specific RNA structures have the potential to control biological processes. RNA-protein interactions are important in many cellular functions, including transcription, RNA splicing, and translation. One example of such interactions is the mechanism of trans-activation of human immunodeficiency virus type 1 (HIV-1) gene expression that requires the interaction of Tat protein with the trans-activation responsive region (TAR) RNA, a 59-base stem-loop structure located at the 5'-end of all nascent HIV-1 transcripts. We report here a synthetic peptide derived from Tat sequence (37-72), containing all D-amino acids, that binds in the major groove of TAR RNA and interferes with transcriptional activation by Tat protein in vitro and in HeLa cells. Our results indicate that unnatural peptides can inhibit the transcription of specific genes regulated by RNA-protein interactions.

L26 ANSWER 1 OF 3 MEDLINE on STN
2001692184 Document Number: 21602320. PubMed ID: 11735525. Solid-phase synthesis of oligourea peptidomimetics employing the Fmoc protection strategy. Boeijen A; van Ameijde J; Liskamp R M. (Department of Medicinal Chemistry, Utrecht Institute for Pharmaceutical Sciences, Utrecht University, P.O. Box 80082, NL-3508 TB Utrecht, The Netherlands.) JOURNAL OF ORGANIC CHEMISTRY, (2001 Dec 14) 66 (25) 8454-62. Journal code: 2985193R. ISSN: 0022-3263. Pub. country: United States. Language: English.

AB A solid-phase-Fmoc-based-synthesis strategy is described for oligourea peptidomimetics as well as a convenient general synthesis approach for the preparation of the required building blocks 5a-j and 5k. These are suitable for use in peptide or robot synthesizers, which is illustrated by the synthesis of oligourea peptidomimetics of part of Leu-enkephalin (10) and a neurotensin derivative (17).

L26 ANSWER 2 OF 3 MEDLINE on STN
2001245712 Document Number: 21121428. PubMed ID: 11229758. Targeting RNA with peptidomimetic oligomers in human cells. Tamilarasu N; Huq I; Rana T M. (Department of Pharmacology, Robert Wood Johnson Medical School, and Molecular Biosciences Graduate Program at Rutgers State University, Piscataway, NJ 08854, USA.) BIOORGANIC AND MEDICINAL CHEMISTRY LETTERS, (2001 Feb 26) 11 (4) 505-7. Journal code: 9107377. ISSN: 0960-894X. Pub. country: England: United Kingdom. Language: English.

AB Replication of human immunodeficiency virus type 1 (HIV-1) requires specific interactions of Tat protein with the transactivation responsive region (TAR) RNA, a 59-base stem-loop structure located at the 5'-end of all HIV mRNAs. Here we report that two TAR RNA-binding peptidomimetics, oligourea and oligocarbamate, inhibit transcriptional activation by Tat protein in human cells with an IC50 of approximately 0.5 and 1 microM, respectively. Peptidomimetics that can target specific RNA structures provide novel molecules that can be used to control cellular processes involving protein-RNA interactions in vivo.